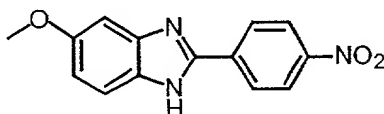


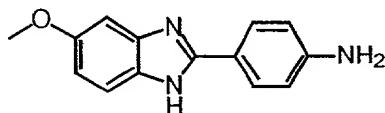
[0050] Alternatively, the 2-(4-aminophenyl)-5-aminobenzimidazole was prepared by the following reduction: 2-(4-nitrophenyl)-6-nitrobenzimidazole (8.9 g, 31 mmole) was suspended in concentrated HCl (100 ml) to which was added stannous chloride (42.3 g 180 mmole). The reaction mixture was heated to reflux for 5 hrs. The mixture was cooled to RT and the HCl salt of the desired product was precipitated by the addition of ethanol. The resulting solid was filtered, re-dissolved in water and the solution made basic by the addition of concentrated ammonium hydroxide. The resulting precipitate was filtered and dried overnight under vacuum to yield the desired product as a gray solid (6.023 g, 26.9 mmole, 87%). The product characterized by electrospray mass spectroscopy and HPLC (mp. 222-227° C).

[0051] 2-(4-Aminophenyl)-5-methoxy benzimidazole was synthesized from 2-(4-nitrophenyl)-5-methoxy benzimidazole, which was prepared as follows: 1,2-diamino-4-methoxybenzene (1.26 g, 10.0 mmole) was mixed with 4-nitrobenzoic acid (1.67 g, 9.8 mmole) and dissolved in POCl<sub>3</sub> (10 ml) and heated to reflux for 2.5 hours. The reaction mixture was cooled and cautiously poured onto ice. The resulting solid was filtered, washed with NaHCO<sub>3</sub> and used without further purification.



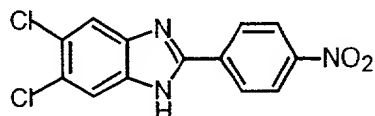
2-(4-nitrophenyl)-5-methoxy benzimidazole

[0052] 2-(4-Aminophenyl)-5-methoxy benzimidazole was prepared by dissolving 1 g of the above nitrobenzimidazole in 30% Na<sub>2</sub>S•9H<sub>2</sub>O (20 ml) with stirring at RT for 21 h. The reaction mixture was diluted with water and extracted with EtOAc. The combined organic extracts were dried over sodium sulfate and concentrated under vacuum. The product was characterized by mass spectroscopy.



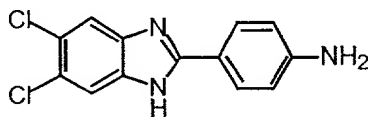
2-(4-aminophenyl)-5-methoxy benzimidazole

[0053] 2-(4-Aminophenyl)-5,6-dichloro benzimidazole was synthesized from 2-(4-nitrophenyl)-5,6-dichloro benzimidazole, which was prepared as follows: 1,2-diamino-4,5-dichlorobenzene (1.68 g, 10.0 mmole) was mixed with 4-nitrobenzoic acid (1.58 g, 9.3 mmole), dissolved in  $\text{POCl}_3$  (10 ml), and heated to reflux for 2.5 hours. The reaction mixture was cooled and cautiously poured onto ice. The resulting solid was filtered, washed with  $\text{NaHCO}_3$  and used without further purification.



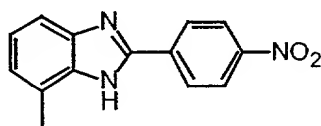
2-(4-nitrophenyl)-5,6-dichloro benzimidazole

[0054] 2-(4-Aminophenyl)-5,6-dichloro benzimidazole was prepared by dissolving 1 g of the above nitrobenzimidazole in 30%  $\text{Na}_2\text{S} \cdot 9\text{H}_2\text{O}$  (20 ml) with stirring at RT for 21 h. The reaction mixture was diluted with water and extracted with EtOAc. The combined organic extracts were dried over sodium sulfate and concentrated under vacuum. The product was characterized by mass spectroscopy.



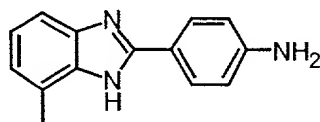
2-(4-Aminophenyl)-5,6-dichloro benzimidazole

[0055] 2-(4-aminophenyl)-7-methyl benzimidazole was synthesized from 2-(4-nitrophenyl)-7-methyl benzimidazole, which was prepared by mixing 1,2-diamino-3-methylbenzene (1.24 g, 10.0 mmole) with 4-nitrobenzoic acid (1.69 g, 9.8 mmole), dissolved in  $\text{POCl}_3$  (10 ml), and heated to reflux for 2.5 hours. The reaction mixture was cooled and cautiously poured onto ice. The resulting solid was filtered, washed with  $\text{NaHCO}_3$  and used without further purification.



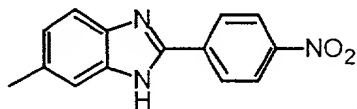
2-(4-nitrophenyl)-7-methyl benzimidazole

[0056] 2-(4-Aminophenyl)-7-methyl benzimidazole was synthesized by dissolving 1 g of the above nitrobenzimidazole in 30%  $\text{Na}_2\text{S} \cdot 9\text{H}_2\text{O}$  (20 ml) with stirring at RT for 4.5 h. The reaction mixture was diluted with water and extracted with EtOAc. The combined organic extracts were dried over sodium sulfate and concentrated under vacuum. The product was characterized by mass spectroscopy.



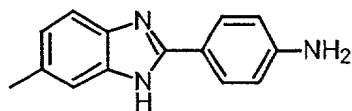
2-(4-aminophenyl)-7-methyl benzimidazole

[0057] 2-(4-Aminophenyl)-6-methyl benzimidazole was synthesized from 2-(4-nitrophenyl)-6-methyl benzimidazole, which was prepared by mixing 1,2-diamino-4-methylbenzene (1.24 g, 9.8 mmole) with 4-nitrobenzoic acid (1.6 g, 9.9 mmole) and dissolved in  $\text{POCl}_3$  (10 ml) and heated to reflux for 2.5 hours. The reaction mixture was cooled and cautiously poured onto ice. The resulting solid was filtered, washed with  $\text{NaHCO}_3$  and used without further purification.



2-(4-nitrophenyl)-6-methyl benzimidazole

[0058] 2-(4-Aminophenyl)-6-methyl benzimidazole was synthesized by dissolving 1 g of the above nitrobenzimidazole in 30%  $\text{Na}_2\text{S} \cdot 9\text{H}_2\text{O}$  (20 ml) with stirring at RT for 4.5 h. The reaction mixture was diluted with water and extracted with EtOAc. The combined organic extracts were dried over sodium sulfate and concentrated under vacuum. The product was characterized by mass spectroscopy.



2-(4-aminophenyl)-6-methyl benzimidazole